

Translation

PATENT COOPERATION TREATY

PCT/JP2004/010741



PCT

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY
(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference PCT-0408	FOR FURTHER ACTION See Form PCT/IPEA/416	
International application No. PCT/JP2004/010741	International filing date (day/month/year) 21 July 2004 (21.07.2004)	Priority date (day/month/year) 24 July 2003 (24.07.2003)
International Patent Classification (IPC) or national classification and IPC C07H 19/23, A61K 31/7056, A61P 35/00		
Applicant BANYU PHARMACEUTICAL CO., LTD.		

1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.
2. This REPORT consists of a total of 4 sheets, including this cover sheet.
3. This report is also accompanied by ANNEXES, comprising:
 - a. ☐ (sent to the applicant and to the International Bureau) a total of _____ sheets, as follows:
 - ☐ sheets of the description, claims and/or drawings which have been amended and are the basis of this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).
 - ☐ sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.
 - b. ☐ (sent to the International Bureau only) a total of (indicate type and number of electronic carrier(s)) _____, containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).

4. This report contains indications relating to the following items:

- ☒ Box No. I Basis of the report
- ☐ Box No. II Priority
- ☐ Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- ☐ Box No. IV Lack of unity of invention
- ☒ Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- ☐ Box No. VI Certain documents cited
- ☐ Box No. VII Certain defects in the international application
- ☐ Box No. VIII Certain observations on the international application

Date of submission of the demand 18 January 2005 (18.01.2005)	Date of completion of this report 01 June 2005 (01.06.2005)
Name and mailing address of the IPEA/JP	Authorized officer
Facsimile No.	Telephone No.

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.

PCT/JP2004/010741

Box No. I Basis of the report

1. With regard to the language, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.

☐ This report is based on translations from the original language into the following language _____, which is language of a translation furnished for the purpose of:

- ☐ international search (under Rules 12.3 and 23.1(b))
☐ publication of the international application (under Rule 12.4)
☐ international preliminary examination (under Rules 55.2 and/or 55.3)

2. With regard to the elements of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report)*:

☒ The international application as originally filed/furnished

☐ the description:

pages _____, as originally filed/furnished

pages* _____ received by this Authority on _____

pages* _____ received by this Authority on _____

☐ the claims:

pages _____, as originally filed/furnished

pages* _____, as amended (together with any statement) under Article 19

pages* _____ received by this Authority on _____

pages* _____ received by this Authority on _____

☐ the drawings:

pages _____, as originally filed/furnished

pages* _____ received by this Authority on _____

pages* _____ received by this Authority on _____

☐ a sequence listing and/or any related table(s) – see Supplemental Box Relating to Sequence Listing.

3. ☐ The amendments have resulted in the cancellation of:

☐ the description, pages _____

☐ the claims, Nos. _____

☐ the drawings, sheets/figs _____

☐ the sequence listing (*specify*): _____

☐ any table(s) related to sequence listing (*specify*): _____

4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).

☐ the description, pages _____

☐ the claims, Nos. _____

☐ the drawings, sheets/figs _____

☐ the sequence listing (*specify*): _____

☐ any table(s) related to sequence listing (*specify*): _____

* If item 4 applies, some or all of those sheets may be marked "superseded."

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.

PCT/JP2004/010741

Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Claims	1-8	YES
	Claims	9-12	NO
Inventive step (IS)	Claims	6	YES
	Claims	1-5, 7-12	NO
Industrial applicability (IA)	Claims	1-12	YES
	Claims		NO

2. Citations and explanations (Rule 70.7)

1. JP, 10-245390, A
2. WO, 01/062769, A1
3. WO, 02/079214, A1

A. Claims 9-12

The inventions described in claims 9-12 do not appear to be novel or involve an inventive step based on document 1.

Document 1 (paragraphs 0024-0026) describes using an injectable solution having various compounds represented by a formula [1] as active ingredients to test an antitumor action of the compounds. In particular, the compounds in embodiments 14 and 27 correspond to the compounds of the formula (I) of the present application.

Here, the "medical composition" described in claim 9 of the present application and the "anticancer agent" described in claim 10 of the same contain liquid medication. (See specification of the present application, page 7, lines 5-7.) Also, the "anticancer agent for injection" described in claims 11 and 12 of the same is liquid medication. When the crystalline compounds of the formula (I) of the present application are used as liquid medication, crystal dissolves in a solvent; therefore, this examination finds that the compounds of the formula (I) of the present application are not present in a solvent in a crystalline form.

Thus, the medication described in claims 9-12 of the present application cannot be distinguished from the injectable solution described in document 1.

Supplemental Box

In case the space in any of the preceding boxes is not sufficient.
Continuation of Box V:

B. Claims 1-5, 7 and 8

The inventions described in claims 1-5, 7 and 8 do not appear to involve an inventive step based on document 1.

Document 1 describes a compound represented by the formula [1] which is effective as an antitumor agent, and embodiments 13, 14, 16-19, 21-23 and 25, which are the specific compounds represented by the formula [1], correspond to the compound of the formula (I) of the present application. Also, document 1 (paragraph 0021) also describes that the compound represented by the formula [1] can be refined by re-crystallization or the like.

In the crystallization of the compound, a method for separating out a crystal wherein a solution containing a target compound is heated and then cooled was already well-known technology at the time of filing the present application. (If necessary, see, for example, Shadan Houjin Nihon Kagaku Kai Hen, Vol. 4, Jikken Kagaku Kouza 2, Kihon Sousa II, December 5, 1990 (12.05.90), pp. 356-358, column "4, 2, 3 Joreihou," Shadan Houjin Nihon Kagaku Kai Hen, Shin Jikken Kagaku Kouza 1, Kihon Sousa II, October 30, 1978 (10.30.78), pp. 657-660, column "d. Reikyaku hou", etc.)

Thus, using the above well-known technology to obtain the crystal of the above compounds described in document 1 could be easily achieved by a party skilled in the art.

C. Claim 6

The invention described in claim 6 appears to be novel and involve an inventive step over documents 1-3.

None of documents 1-3 describes or suggests the crystallization step described in claim 6 of the present application; nor is this crystallization step obvious to a party skilled in the art.